

## PATENT COOPERATION TREATY

PCT

## NOTIFICATION OF ELECTION

(PCT Rule 61.2)

From the INTERNATIONAL BUREAU

To:

Assistant Commissioner for Patents  
 United States Patent and Trademark  
 Office  
 Box PCT  
 Washington, D.C.20231  
 ÉTATS-UNIS D'AMÉRIQUE

in its capacity as elected Office

<b>Date of mailing (day/month/year)</b> 07 March 2000 (07.03.00)	
<b>International application No.</b> PCT/CA99/00619	<b>Applicant's or agent's file reference</b> 40478-130
<b>International filing date (day/month/year)</b> 08 July 1999 (08.07.99)	<b>Priority date (day/month/year)</b> 08 July 1998 (08.07.98)
<b>Applicant</b> BRIDGER, Gary, James et al	

1. The designated Office is hereby notified of its election made:

☒ in the demand filed with the International Preliminary Examining Authority on:

08 December 1999 (08.12.99)

☐ in a notice effecting later election filed with the International Bureau on:
2. The election ☒ was
☐ was not

made before the expiration of 19 months from the priority date or, where Rule 32 applies, within the time limit under Rule 32.2(b).

The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland Facsimile No.: (41-22) 740.14.35	Authorized officer Juan Cruz Telephone No.: (41-22) 338.83.38
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INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference 40478-130	<b>FOR FURTHER ACTION</b> See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)	
International application No. PCT/CA99/00619	International filing date (day/month/year) 08/07/1999	Priority date (day/month/year) 08/07/1998
International Patent Classification (IPC) or national classification and IPC C07D257/02		
Applicant ANORMED INC. et al.		

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.

2. This REPORT consists of a total of 8 sheets, including this cover sheet.

- ☐ This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

These annexes consist of a total of sheets.

3. This report contains indications relating to the following items:

- I ☒ Basis of the report
- II ☐ Priority
- III ☒ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- IV ☒ Lack of unity of invention
- V ☒ Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- VI ☐ Certain documents cited
- VII ☒ Certain defects in the international application
- VIII ☒ Certain observations on the international application

Date of submission of the demand

08/02/2000

Date of completion of this report

12.07.2000

Name and mailing address of the international preliminary examining authority:



European Patent Office  
D-80298 Munich  
Tel. +49 89 2399 - 0 Tx: 523656 epmu d  
Fax: +49 89 2399 - 4465

Authorized officer

Feiler, L

Telephone No. +49 89 2399 8282



# INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No. PCT/CA99/00619

## I. Basis of the report

1. This report has been drawn on the basis of (*substitute sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to the report since they do not contain amendments.*):

### Description, pages:

1-44 as originally filed

### Claims, No.:

1-38 as originally filed

### Drawings, sheets:

1/9-9/9 as originally filed

2. The amendments have resulted in the cancellation of:

- ☐ the description, pages:
- ☐ the claims, Nos.:
- ☐ the drawings, sheets:

3. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)):

4. Additional observations, if necessary:

## III. Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non-obvious), or to be industrially applicable have not been examined in respect of:

- ☐ the entire international application.
- ☒ claims Nos. 22-25, 27, 37, 38.

because:

# INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No. PCT/CA99/00619

- ☒ the said international application, or the said claims Nos. 22-25, 27, 37, 38 relate to the following subject matter which does not require an international preliminary examination (*specify*):

**see separate sheet**

- ☐ the description, claims or drawings (*indicate particular elements below*) or said claims Nos. are so unclear that no meaningful opinion could be formed (*specify*):

- ☐ the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed.

- ☐ no international search report has been established for the said claims Nos. .

## IV. Lack of unity of invention

1. In response to the invitation to restrict or pay additional fees the applicant has:

- ☐ restricted the claims.  
☐ paid additional fees.  
☐ paid additional fees under protest.  
☐ neither restricted nor paid additional fees.

2. ☒ This Authority found that the requirement of unity of invention is not complied and chose, according to Rule 68.1, not to invite the applicant to restrict or pay additional fees.

3. This Authority considers that the requirement of unity of invention in accordance with Rules 13.1, 13.2 and 13.3 is

- ☐ complied with.  
☒ not complied with for the following reasons:

**see separate sheet**

4. Consequently, the following parts of the international application were the subject of international preliminary examination in establishing this report:

- ☒ all parts.  
☐ the parts relating to claims Nos. .

# INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No. PCT/CA99/00619

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## V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

### 1. Statement

Novelty (N)	Yes:	Claims	4, 9-16, 30, 31
	No:	Claims	1-3, 5-8, 17-29, 32-38
Inventive step (IS)	Yes:	Claims	
	No:	Claims	1-38,
Industrial applicability (IA)	Yes:	Claims	1-21, 26, 28-36
	No:	Claims	

### 2. Citations and explanations

**see separate sheet**

## VII. Certain defects in the international application

The following defects in the form or contents of the international application have been noted:

**see separate sheet**

## VIII. Certain observations on the international application

The following observations on the clarity of the claims, description, and drawings or on the question whether the claims are fully supported by the description, are made:

**see separate sheet**

1. Claims 22-25, 27, 37, 38 relate to subject-matter considered by this Authority to be covered by the provisions of Rule 67.1(iv) PCT. Consequently, no opinion will be formulated with respect to the industrial applicability of the subject-matter of these claims (Article 34(4)(a)(i) PCT).

## **2. Cited Documents**

EP-A-0747368= D1

WO-A-9312096= D2

WO-A-9518808= D3

WO-A-9216494= D4

J. Med. Chem. 38(1995), pp. 366-378= D5

J. Med. Chem. 38(1995), pp. 3865-3873= D6

The indicated designation will be used throughout the examination procedure.

## **3. Novelty**

Subject matter claimed differs from D3 mainly due to the fact that the cyclic polyamines are fused with aromatic or heteroaromatic rings or a heteroatom other than an N is incorporated in the ring. The compounds of D4 comprise at least a polyheteroalkyl chain not considered according to the application.

On the other hand subject-matter claimed is obviously not novel in view of D1 (see specifically Claims 1-3 and 5-8 of the application). All the compounds of your table 1 are already described in D1 (see table 1 and Claim 5). The compound of Claim 6 of D1 is also novelty destroying.

D2, D5 and D6 are novelty destroying specifically for Claims 9 and 10 of the application when Ar<sup>2</sup> is an alkyl derivative of a heterocyclic group. Specifically the compound AMD3100 of fig. 27 of the application is already described in D2.

The subject-matter claimed can therefore not be considered novel.

The following observations apply for subject matter not described already in the prior art.

#### **4. Inventive Step - Breadth of Claims - Non-unity a posteriori**

##### **4.1 Subjective Problem**

According to the application (p. 1, first paragraph) the problem underlying the invention is to be seen in the provision of further compounds having antiviral activity and which are specifically active in standard tests against HIV-infected cells. In this context it has to be stressed that the mechanism of action has no bearing to the solution of the technical problem on the basis of which the question of inventive step is to be evaluated.

##### **4.2 Relevant and closest prior art**

Documents D1-D6 are considered to be relevant for the assessment of inventive step. For **invention A** according to Claim 1 and dependent claims the closest prior art is given by D1.

For **invention B** according to Claim 9 and dependent claims the closest prior art is one of the documents D2-D6 depending on the kind of claims which are filed.

##### **4.3 Objectively solved problem**

Anti-HIV activity data are to be found in table 1 of the description but those data are irrelevant since they all refer to compounds already known from D1. The data may be taken as an indicator that other compounds of invention A similar to those tested also have anti-HIV activity.

The compounds of table 2 and 3 disclose data in relation to the binding to certain receptors the macroscopic effect being unclear. On the other hand the data of table 4 comprising compounds of invention B refer to the inhibition against HIV infection. Consequently, it can be said that some of the compounds comprised by Claims 1 and 9 solve the problem defined above.

##### **4.4 Evaluation of the solution of the problem**

D1-D5 disclose compounds structurally very similar to those of the present application. The products of those documents also solve the problem of providing compounds which have anti-HIV effects. The person skilled in the art seeking a solution to the problem defined above would therefore have been prompted to consider further derivatives of compounds which are already known to solve the above defined problem. In this context it is to be stressed that the prior art discloses already considerable variation without changing the qualitative activity.

From an overall view of the teachings of D1-D6 the person skilled in the art would have been able to infer that a modification of the proposed type would have no effect on the

qualitative activity profile.

The person skilled in the art would therefore have considered the proposed solution in the expectation of success.

Thus the subject-matter of the present Claim 1 cannot be considered to be *prima facie* inventive.

#### 4.5 Suggestions for overcoming the objections according to point 4.4

An inventive step could nevertheless be acknowledged should comparative data be submitted which show that apart from the technical problem defined in point 4.1 another, possibly more exacting, problem, which can be derived from the application as originally filed (e.g. surprising improvement), existed and has actually been solved by originally disclosed technical features, which would need to be incorporated in Claim 1. In this respect it should be borne in mind that the compounds of the closest prior must bear the closest possible structural resemblance in order that the comparison be valid. The relevant closest prior art documents for invention A and B have been indicated above.

#### 4.6 Breadth of the claims

The breadth should be such that it can be assumed that all the comprised possibilities actually solve the problem underlying the invention on which an inventive step could be based. It should be based on the examples which actually solve this problem and a **reasonable** generalisation thereof. Not reasonable generalisations are certain expressions contained in the claims on file like "optionally substituted", "fused aromatic or heteroaromatic ring", "heterocyclic group", "substituted aromatic group", "mercaptan group", "aromatic or heteroaromatic ring", "metall complexes", "derivative thereof".

#### 4.7 Non-unity a posteriori

From the above it is apparent that the application is non-unitary since different prior art has been further developed.

### 5. Industrial applicability

For the assessment of the present claims 22-25, 27, 37, 38 on the question whether they are industrially applicable, no unified criteria exist in the PCT Contracting States. The patentability can also be dependent upon the formulation of the claims. The EPO, for example, does not recognize as industrially applicable the subject-matter of claims to the use of a compound in medical treatment, but may allow, however, claims to a known compound for first use in medical treatment and the use of such a compound for



the manufacture of a medicament for a new medical treatment.

## **6. Formulation of the claims**

- "Optionally substituted with electron-donating and withdrawing groups" is not an acceptable definition since it is vague in its scope.
- Definitions which appear in a previous claim should be introduced by a corresponding reference thereby avoiding unnecessary repetition (conciseness).

## **7. Description**

In a possible regional examination phase the description should be adapted to the new claims in the framework of the original disclosure.

Any examples and parts of the description no longer encompassed by Claim 1 are to be deleted.

The documents cited in this communication should, insofar as this has not taken place, be referred to in the description with a short indication of their contents.

Pages amended in handwriting should also be submitted retyped.